```
=> d his

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L3             STR
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L5          393 S L4 AND (CAPLUS OR CA)/LC
L6           12 S L4 AND USPATFULL/LC
L7            0 S L6 NOT L5

     FILE 'HCAPLUS' ENTERED AT 10:35:31 ON 02 DEC 2002
L8            6 S L4

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L9            0 S L4
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   29 NOV 2002  HIGHEST RN 474744-87-1
DICTIONARY FILE UPDATES:  29 NOV 2002  HIGHEST RN 474744-87-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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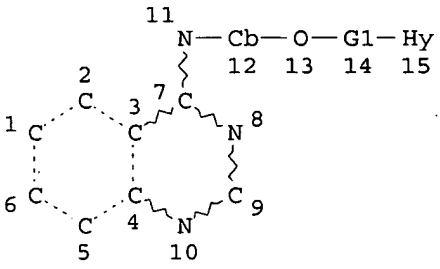


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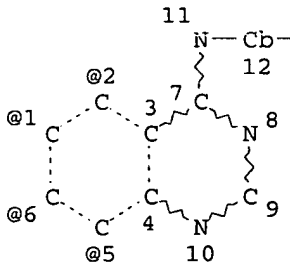

Page 1-A

1

Page 1-B
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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE
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SEARCH TIME: 00.00.01

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Dec 2002 VOL 137 ISS 23
FILE LAST UPDATED: 1 Dec 2002 (20021201/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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L3              STR
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L8            6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L4


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L8   ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2001:935582  HCAPLUS
DOCUMENT NUMBER:          136:69816
TITLE:                    Preparation of substituted 4-quinazolinamines for the
                          treatment of abnormal cell growth
INVENTOR(S):              Kath, John Charles; Bhattacharya, Samit Kumar; Morris,
                          Joel
PATENT ASSIGNEE(S):       Pfizer Products Inc., USA
SOURCE:                   PCT Int. Appl., 84 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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     WO 2001098277      A2   20011227       WO 2001-IB1046   20010614
     WO 2001098277      A3   20020613
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 2002169165      A1   20021114       US 2001-883752   20010618
PRIORITY APPLN. INFO.:                   US 2000-213136P  P  20000622
OTHER SOURCE(S):          MARPAT 136:69816
GI
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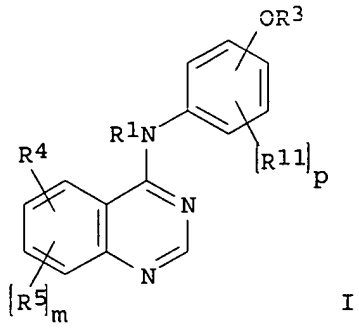

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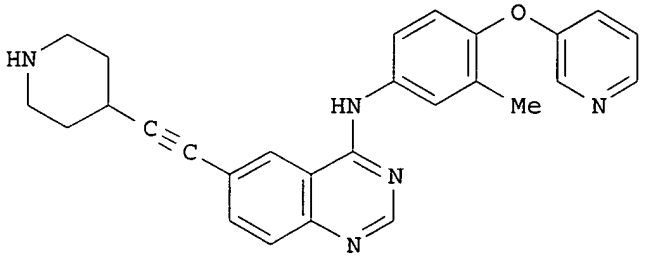

II

AB The title compds. [I; m = 0-3; p = 0-4; R1, R2 = H, alkyl; R3 = (CR1R2)t(4-10 membered heterocycle); t = 0-5; R4 = piperidin-4-ylethynyl, 3-(morpholin-4-yl)propenyl, 3-substituted-prop-1-ynyl, etc.; R5 = halo, OH, alkyl, etc.; R11 = halo, CN, NO2, etc.] and their pharmaceutically acceptable salts, useful for treating abnormal cell growth in mammals, were prepd. Thus, alkylating 4-ethynylpiperidine-1-carboxylic acid tert-Bu ester with 4-chloro-6-iodoquinazoline followed by reacting the resulting 4-(4-chloroquinazolin-6-ylethynyl)-piperidine-1-carboxylic acid tert Bu ester with 3-methyl-4-(pyridin-3-yloxy)-phenylamine afforded II. The exemplified compds. I have IC50 of < 10 .mu.M against erbB2 kinase.

IC ICM C07D239-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **383430-47-5P 383430-50-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

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383430-63-5P 383430-64-6P 383430-65-7P
383430-66-8P 383430-67-9P 383430-68-0P
383430-69-1P 383430-70-4P 383430-71-5P
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383430-78-2P 383430-79-3P 383430-80-6P
383430-81-7P 383430-82-8P 383430-83-9P
383430-84-0P 383430-86-2P 383430-87-3P
383430-88-4P 383430-89-5P 383430-90-8P

→ too many (381) Structures to print out. I just printed a few at the end of this trans script as examples.

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

IT 110-91-8, Morpholine, reactions 1759-53-1, Cyclopropanecarboxylic acid 7458-03-9 40635-66-3, 2-Acetoxyisobutyryl chloride 63126-47-6 98556-31-1, 4-Chloro-6-iodoquinazoline 287192-97-6 383434-56-8 **383434-57-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

=> d .ca l8 hitstr 2-6

L8 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:592396 HCAPLUS
DOCUMENT NUMBER: 133:193157
TITLE: Preparation of aminoquinazolines and related compounds as anticancer drugs.
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Cox, Eric David; Bhattacharya, Samit Kumar
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1029853	A1	20000823	EP 1999-310574	19991224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000309577	A2	20001107	JP 1999-336570	19991126
JP 3270834	B2	20020402		
BR 9906013	A	20000905	BR 1999-6013	19991229
US 6465449	B1	20021015	US 2000-488378	20000120

PRIORITY APPLN. INFO.: US 1999-117341P P 19990127
OTHER SOURCE(S): MARPAT 133:193157
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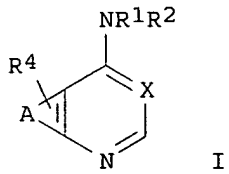

I

AB Title compds. [I; X = N, CH; A = (substituted) fused 5-7 membered ring optionally contg. 1-4 heteroatoms selected from NR1, O, S, SO, SO2 contg. 1-3 double bonds inclusive of the bond in the pyridine or pyrimidine ring

to which it is fused etc.; R1 = H, alkyl; R3 = (CR1R2)mR8; m = 0, 1; R1R3N = (substituted) 1-indolinyl, 1-indolyl; R4, R8 = (substituted) aryl(alkyl), heterocyclyl(alkyl)], were prepd. as neoplasm inhibitors (no data). Thus, 3-[4-(4-phenoxy-quinazolin-6-yl)benzyl]-3-aza-bicyclo[3.1.0]hex-6-ylmethanol (prepn. given), 1-cyclopropylmethyl-1H-indol-5-ylamine, pyridinium hydrochloride, and phenol were heated at 110.degree. overnight to give 67% [3-[4-[4-(1-cyclopropylmethyl-1H-indol-5-ylamino)-quinazolin-6-yl]-benzyl]-3-azabicyclo[3.1.0]hex-6-yl]methanol.

IC ICM C07D239-94
ICS C07D453-02; C07D451-02; A61K031-505; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 289036-76-6P 289036-77-7P 289036-78-8P 289036-79-9P 289036-80-2P
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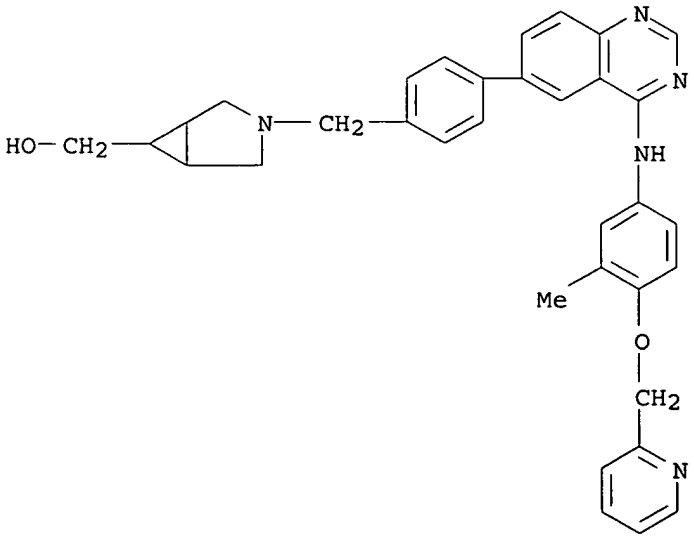
289037-46-3P **289037-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoquinazolines and related compds. as anticancer drugs)

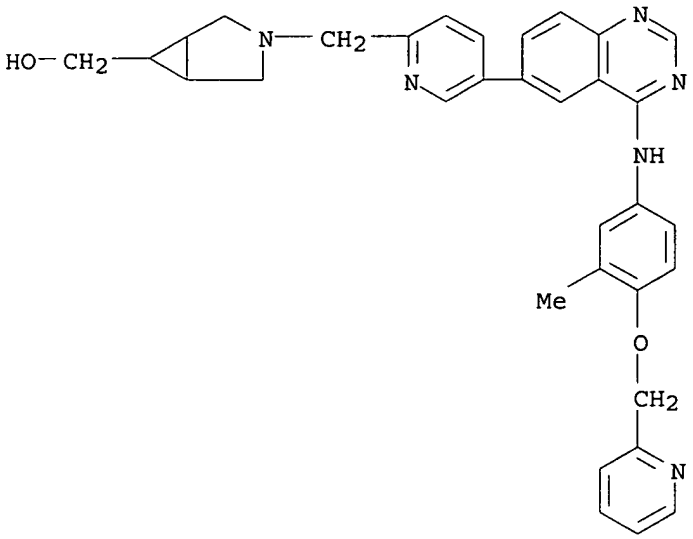
IT **289037-37-2P 289037-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoquinazolines and related compds. as anticancer drugs)

RN 289037-37-2 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[[4-[4-[[3-methyl-4-(2-pyridinylmethoxy)phenyl]amino]-6-quinazolinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 289037-47-4 HCAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[[5-[4-[[3-methyl-4-(2-pyridinylmethoxy)phenyl]amino]-6-quinazolinyl]-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:535121 HCAPLUS
DOCUMENT NUMBER: 133:150572
TITLE: Preparation of substituted bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Liu, Zhengyu; Cox, Eric David; Bhattacharya, Samit Kumar; Morris, Joel
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

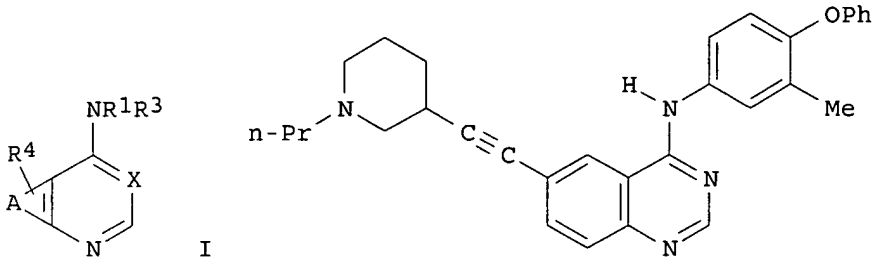
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044728	A1	20000803	WO 1999-IB1934	19991206
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1147093	A1	20011024	EP 1999-956281	19991206

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9916980	A	20011106	BR 1999-16980		19991206
JP 2002535391	T2	20021022	JP 2000-595984		19991206
US 6284764	B1	20010904	US 2000-488350		20000120
US 2001034351	A1	20011025	US 2001-834259		20010412
NO 2001003671	A	20010926	NO 2001-3671		20010726
PRIORITY APPLN. INFO.:			US 1999-117346P	P	19990127
			WO 1999-IB1934	W	19991206
			US 2000-488350	A3	20000120

OTHER SOURCE(S): MARPAT 133:150572

GI



I II

AB The title compds. [I; X = N, CH; A = (un)substituted fused 5-7 membered ring optionally contg. 1-4 heteroatoms selected from NR1, O, S(O)j (wherein j = 0-2); R1, R2 = H, alkyl; R3 = (CR1R2)mR8 (m = 0-1; R8 = (CR1R2)taryl, (CR1R2)theterocyclyl; t = 0-5); R1 and R3 are taken together to form (un)substituted indol-1-yl, indolin-1-yl; R4 = (CR1R2)mC.tplbond.C(CR1R2)tR9 (m = 0-3; t = 0-5; R9 = a non-arom. mono-cyclic ring, a fused or bridged bicyclic ring, etc.), C:NOR12 (R12 = H, alkyl, CO2alkyl, etc.), X1R12 (X1 = a divalent group derived from azetidine, oxetane or carbocyclic group), etc.] and their pharmaceutically acceptable salts, useful in treating abnormal cell growth in mammals, were prepd. Thus, treatment of (3-methyl-4-phenoxyphenyl)-(6-piperidin-3-ylethynylquinazolin-4-yl)amine with propionaldehyde in MeOH/H2O at pH = 5 followed by addn. of NaBH3CN afforded quinazoline II.HCl. Compds. I are effective at 1-35 mg/kg/day.

IC ICM C07D239-94
ICS C07D403-06; C07D401-12; C07D403-12; C07D403-04; C07D401-06; C07D401-14; A61K031-517

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 287188-70-9P 287188-71-0P 287188-73-2P 287188-74-3P 287188-75-4P
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287191-10-0P 287191-11-1P 287191-12-2P

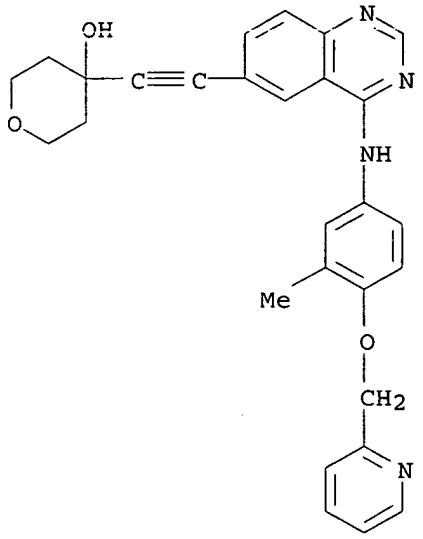
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted bicyclic derivs. useful as anticancer agents)

IT **287189-47-3P 287189-48-4P 287189-96-2P**
287190-12-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted bicyclic derivs. useful as anticancer agents)

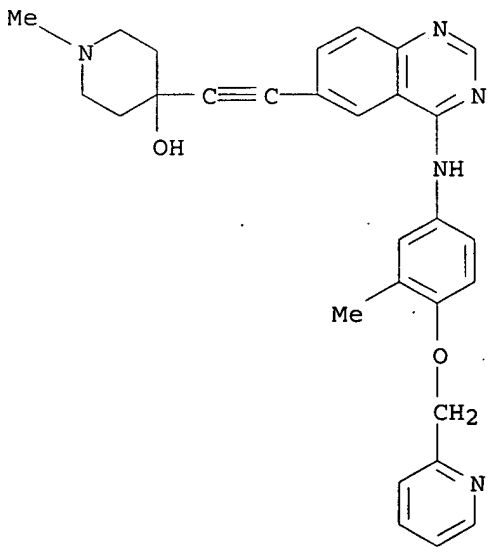
RN 287189-47-3 HCAPLUS

CN 2H-Pyran-4-ol, tetrahydro-4-[[4-[[3-methyl-4-(2-pyridinylmethoxy)phenyl]amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



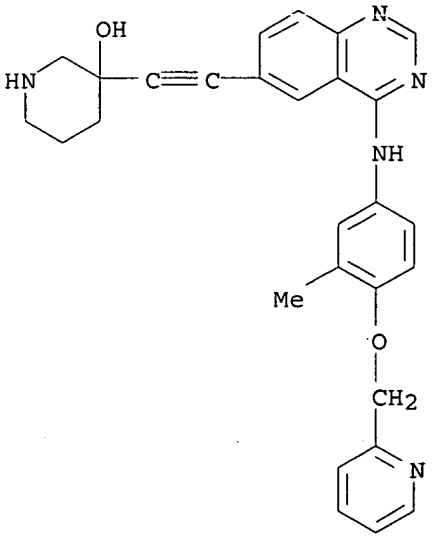
75

RN 287189-48-4 HCAPLUS
CN 4-Piperidinol, 1-methyl-4-[[4-[[3-methyl-4-(2-pyridinylmethoxy)phenyl]amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



76

RN 287189-96-2 HCAPLUS
CN 3-Piperidinol, 3-[[4-[[3-methyl-4-(2-pyridinylmethoxy)phenyl]amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



125

RN 287190-12-9 HCAPLUS
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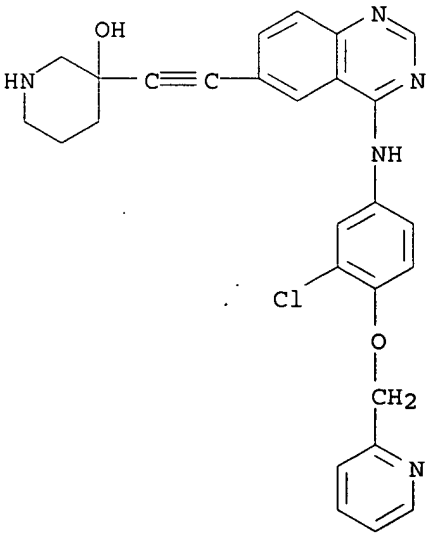

141

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:71133 HCAPLUS
DOCUMENT NUMBER: 128:140716
TITLE: Preparation of azolylquinazolines and related compounds as protein tyrosine kinase inhibitors.
INVENTOR(S): Cockerill, George Stuart; Carter, Malcolm Clive; Guntrip, Stephen Barry; Smith, Kathryn Jane
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart; Carter, Malcolm Clive; Guntrip, Stephen Barry; Smith,

Kathryn Jane
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802434	A1	19980122	WO 1997-EP3672	19970711
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9706147	A	19990111	ZA 1997-6147	19970710
AU 9737668	A1	19980209	AU 1997-37668	19970711
EP 912559	A1	19990506	EP 1997-934458	19970711
EP 912559	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000514806	T2	20001107	JP 1998-505596	19970711
AT 227283	E	20021115	AT 1997-934458	19970711
US 6391874	B1	20020521	US 1998-214267	19981231
US 2002147214	A1	20021010	US 2002-62647	20020131

PRIORITY APPLN. INFO.: GB 1996-14755 A 19960713
GB 1996-25458 A 19961207
WO 1997-EP3672 W 19970711
US 1998-214267 A1 19981231

OTHER SOURCE(S): MARPAT 128:140716

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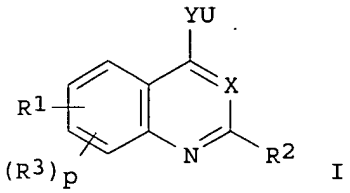

I

AB Title compds. [I; U = substituted Ph, mono- or bicyclic 5-10 membered (hetero)cyclyl; X = N, CH; Y = W(CH2), (CH2)W, W; W = O, S(O)m, NRa; Ra = H, alkyl; m = 0-2; R1 = (substituted) Ph, 5- or 6-membered heterocyclyl contg. 1-4 heteroatoms selected from N, O, S(O)m; with the provision that the ring does not contain two adjacent O or S(O)m atoms and that where the ring contains only N as heteroatom(s) the ring is C-linked to the quinazoline or quinoline ring; R3 = H, amino, halo, OH, NO2, CO2H, CHO, cyano, CF3, OCF3, carbamoyl, alkoxycarbonyl, Ph, PhO, pyridonyl, pyrrolidinyl, imidazolyl, dioxolanyl, arylsulfonyl, alkylsulfonyl, alkylcarbamoylalkyl, piperidinoalkoxy, thiomorpholino, etc.; 2 adjacent R3 = methylenedioxy, ethylenedioxy; p = 0-3], were prepd. Thus, (S)-1-[5-[4-(1-benzyl-1H-indazol-5-ylamino)quinazolin-6-yl]furan-2-ylmethyl]pyrrolidine-2-carboxylic acid amide dihydrochloride (prepn.

given) inhibited BT474 human breast cancer cell proliferation with IC50 = 2 nM.

IC ICM C07D405-04
ICS A61K031-505; C07D409-04; C07D401-04; C07D403-04; C07D405-14; C07D401-14; C07D413-04; C07D413-14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 202196-33-6P 202196-36-9P 202196-38-1P 202196-41-6P 202196-42-7P
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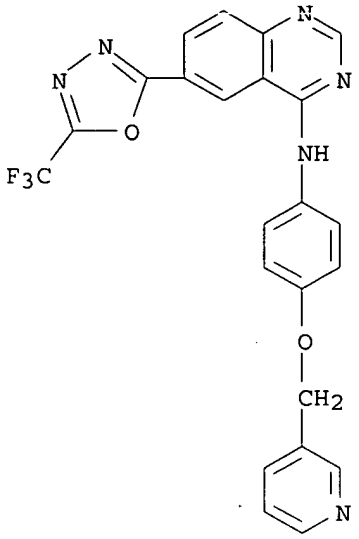
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolylquinazolines and related compds. as protein tyrosine kinase inhibitors)

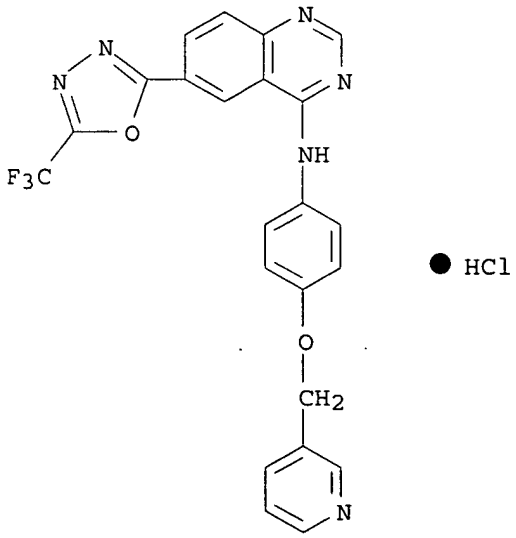
IT **202196-74-5P 202198-03-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolylquinazolines and related compds. as protein tyrosine kinase inhibitors)

RN 202196-74-5 HCAPLUS

CN 4-Quinazolinamine, N-[4-(3-pyridinylmethoxy)phenyl]-6-[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 202198-03-6 HCAPLUS
CN 4-Quinazolinamine, N-[4-(3-pyridinylmethoxy)phenyl]-6-[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:568090 HCAPLUS
DOCUMENT NUMBER: 127:248122
TITLE: Quinazoline derivatives as antitumor agents
INVENTOR(S): Barker, Andrew John; Johnstone, Craig
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730034	A1	19970821	WO 1997-GB344	19970210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242102	AA	19970821	CA 1997-2242102	19970210
AU 9716126	A1	19970902	AU 1997-16126	19970210
AU 707339	B2	19990708		
EP 880507	A1	19981202	EP 1997-902496	19970210
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CN 1211240	A	19990317	CN 1997-192242	19970210
JP 2000504713	T2	20000418	JP 1997-529073	19970210
ZA 9701231	A	19970814	ZA 1997-1231	19970213
US 5866572	A	19990202	US 1997-796483	19970213
NO 9803707	A	19981013	NO 1998-3707	19980813
US 6399602	B1	20020604	US 1998-152070	19980911

PRIORITY APPLN. INFO.:	GB 1996-3095	A	19960214
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	US 1997-796483	A3	19970213

OTHER SOURCE(S): MARPAT 127:248122

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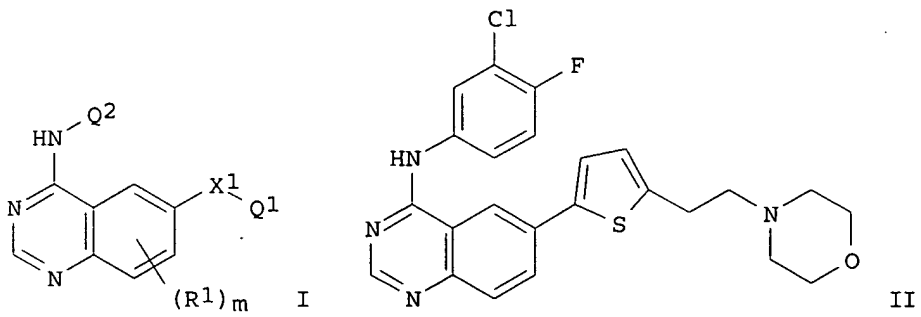

AB The invention concerns quinazoline derivs. I [X1 = bond, CO, C(R2)2, CH(OR2), S, C.tplbond.C, O, S, etc.; Q1 = Ph, naphthyl, or 5- or 6-membered heteroaryl optionally bearing 1-3 substituents; m = 1 or 2; R1 = H, halo, CF3, OH, NH2, cyano, etc.; R2 = H, alkyl; Q2 = Ph or 9- or 10-membered bicyclic heterocycle optionally bearing 1-3 substituents] and their pharmaceutically acceptable salts. Also disclosed are processes for prepn. of I and salts, pharmaceutical compns. contg. them, and the use of their receptor tyrosine kinase inhibitory properties in the treatment of proliferative diseases such as cancer. Examples include syntheses of 40 compds. and various intermediates. For instance, Pd(PPh3)4-catalyzed coupling of 6-bromo-4-(3-chloro-4-fluoroanilino)quinazoline-HCl with di-iso-Pr [5-(2-morpholinoethyl)thien-2-yl]boronate (prepns. given) gave 27% title compd. II. At 50 mg/kg/day in athymic nude mice with human vulval epidermoid carcinoma xenografts (cell line A-431), II gave 64%

inhibition of tumor vol. (vs. control) after 13 days.

IC ICM C07D239-94
ICS A61K031-505; C07D401-04; C07D403-04; C07D405-04; C07D407-04; C07D409-04; C07D411-04; C07D413-14; C07D409-12; C07D411-12; C07D403-12; C07D401-12; C07D407-12; C07D409-14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 195457-14-8P, 4-(3-Methylanilino)-6-phenylquinazoline 195457-15-9P, 6-[4-(Aminomethyl)phenyl]-4-(3-chloro-4-fluoroanilino)quinazoline 195457-16-0P, 4-(3-Chloro-4-fluoroanilino)-6-(3-furyl)quinazoline 195457-17-1P, 4-(3-Chloro-4-fluoroanilino)-6-(2-furyl)quinazoline 195457-18-2P, 4-(3-Chloro-4-fluoroanilino)-6-(2-thienyl)quinazoline 195457-19-3P, 4-(3-Chloro-4-fluoroanilino)-6-(3-thienyl)quinazoline 195457-20-6P, 4-(3-Chloro-4-fluoroanilino)-6-[5-(2-morpholinoethyl)thien-2-yl]quinazoline 195457-21-7P, 4-(3-Chloro-4-fluoroanilino)-6-[5-(morpholinomethyl)thien-3-yl]quinazoline 195457-22-8P, 4-(3-Chloro-4-fluoroanilino)-6-(4-imidazolyl)quinazoline 195457-23-9P, 4-(3-Chloro-4-fluoroanilino)-6-(2-pyridyl)quinazoline 195457-24-0P, 4-(3-Chloro-4-fluoroanilino)-6-(3-pyridyl)quinazoline 195457-25-1P, 4-(3-Chloro-4-fluoroanilino)-6-(4-quinazolinylamino)quinazoline dihydrochloride 195457-26-2P, 6-(2-Imidazolylamino)-4-(3-methylanilino)quinazoline 195457-27-3P, 4-(3-Methylanilino)-6-[(1-methylimidazol-4-yl)sulfonamido]quinazoline 195457-28-4P, 4-(3-Methylanilino)-6-[(3-thienylmethyl)amino]quinazoline 195457-29-5P, 6-[(2-Imidazolylmethyl)amino]-4-(3-methylanilino)quinazoline 195457-31-9P, 4-(3-Chloro-4-fluoroanilino)-6-[(2-thienylmethyl)amino]quinazoline 195457-33-1P, 4-(3-Chloro-4-fluoroanilino)-6-(furfurylamino)quinazoline 195457-34-2P, 4-(3-Chloro-4-fluoroanilino)-6-(5-isoxazolylcarboxamido)quinazoline hydrochloride 195457-35-3P, 4-(3-Chloro-4-fluoroanilino)-6-(1,2,3-triazol-4-ylcarboxamido)quinazoline 195457-36-4P, 4-(3-Chloro-4-fluoroanilino)-7-(methylamino)-6-(3-pyridylcarboxamido)quinazoline 195457-40-0P, 4-(3-Chloro-4-fluoroanilino)-6-phenoxyquinazoline 195457-42-2P, 4-(3-Chloro-4-fluoroanilino)-6-[4-(morpholinomethyl)phenoxy]quinazoline 195457-43-3P, 6-(1-Imidazolylmethyl)-4-(3-methylanilino)quinazoline 195457-44-4P, 4-(3-Chloro-4-fluoroanilino)-7-methoxy-6-(2-pyridylmethoxy)quinazoline 195457-45-5P, 4-(3-Chloro-4-fluoroanilino)-7-methoxy-6-(3-pyridylmethoxy)quinazoline 195457-46-6P, 4-(3-Methylanilino)-6-[(1,2,3-triazol-4-ylthio)methyl]quinazoline 195457-47-7P, 4-(3-Methylanilino)-6-[[(N-methylimidazol-2-yl)thio]methyl]quinazoline 195457-48-8P, 6-[(2-Imidazolylthio)methyl]-4-(3-methylanilino)quinazoline 195457-49-9P, 6-[(2-Benzimidazolylthio)methyl]-4-(3-methylanilino)quinazoline **195457-50-2P**, 4-[3-Methyl-4-(2-pyridylmethoxy)anilino]-6-(2-thienyl)quinazoline **195457-51-3P**, 6-(3-Furyl)-4-[3-methyl-4-(2-pyridylmethoxy)anilino]quinazoline 195457-52-4P, 4-(3-Chloro-4-fluoroanilino)-6-(4-oxazolyl)quinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazoline derivs. as antitumor agents and antiproliferatives)

IT **195457-50-2P**, 4-[3-Methyl-4-(2-pyridylmethoxy)anilino]-6-(2-thienyl)quinazoline **195457-51-3P**, 6-(3-Furyl)-4-[3-methyl-4-(2-pyridylmethoxy)anilino]quinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazoline derivs. as antitumor agents and antiproliferatives)

RN 195457-50-2 HCAPLUS
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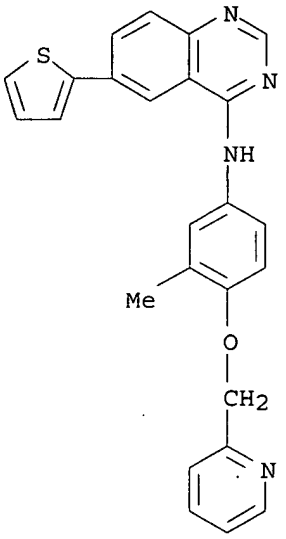

RN 195457-51-3 HCAPLUS
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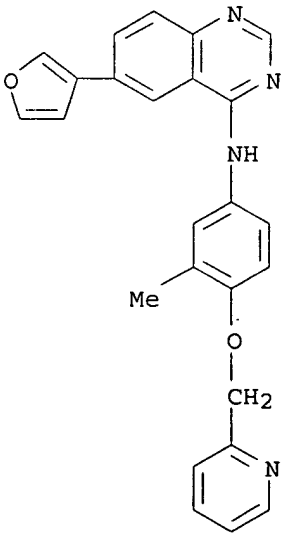

L8 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:476843 HCAPLUS
DOCUMENT NUMBER: 125:142761
TITLE: Quinazoline derivatives
INVENTOR(S): Barker, Andrew John
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616960	A1	19960606	WO 1995-GB2768	19951128
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9539330	A1	19960619	AU 1995-39330	19951128
EP 794953	A1	19970917	EP 1995-937126	19951128
EP 794953	B1	19990506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10509972	T2	19980929	JP 1995-518417	19951128
AT 179708	E	19990515	AT 1995-937126	19951128
US 5955464	A	19990921	US 1997-860088	19970522

PRIORITY APPLN. INFO.: GB 1994-24233 19941130
WO 1995-GB2768 19951128

OTHER SOURCE(S): MARPAT 125:142761
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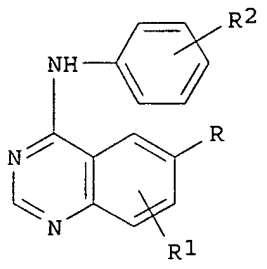

I

AB The invention concerns quinazoline derivs. I (m = 1, 2; R1 = H, halo, alkyl, alkoxy; n = 1-3; R2 = H, OH, halo, alkyl; R = 5- or 9-membered nitrogen-linked heteroaryl moiety contg. up to four nitrogen heteroatoms, or R = a 5-, 6-, 9- or 10-membered nitrogen-linked unsatd. heterocyclic moiety contg. up to three nitrogen heteroatoms which bears one or two substituents selected from oxo and thioxo) and the use of the receptor tyrosine kinase inhibitory properties of the compds. in the treatment of proliferative diseases such as cancer. Among the approx. 15 title compds. prepd., 4-(3-methylanilino)-, 4-(3-chloro-4-fluoroanilino)-, 4-(4-benzoyl-3-chloroanilino)-, and 4-[3-methyl-4-(2-pyridylmethoxy)anilino]-6-(1-imidazolyl)quinazolines were claimed.

IC ICM C07D403-04
ICS C07D401-04; C07D401-14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 179552-62-6P 179552-64-8P 179552-65-9P 179552-66-0P 179552-67-1P

179552-71-7P 179552-72-8P 179552-77-3P **179552-78-4P**
179552-80-8P 179552-81-9P 179552-83-1P 179552-84-2P
179552-88-6P 179552-91-1P 179552-93-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of tyrosine kinase inhibiting imidazolylquinazolines)

IT **179552-78-4P 179552-80-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of tyrosine kinase inhibiting imidazolylquinazolines)

RN 179552-78-4 HCAPLUS
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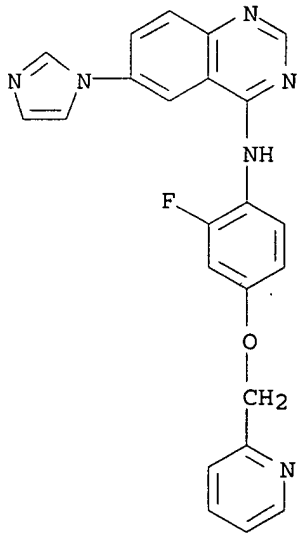

RN 179552-80-8 HCAPLUS
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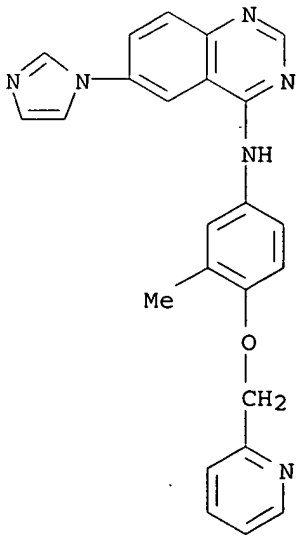

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   29 NOV 2002  HIGHEST RN 474744-87-1
DICTIONARY FILE UPDATES:  29 NOV 2002  HIGHEST RN 474744-87-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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=> d ide can l10 1 50 100 150 200 250 300 350 381

some examples of hits from 1st reference

L10 ANSWER 1 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383434-57-9** REGISTRY
CN Carbamic acid, [3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propynyl]-, phenyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H22 Cl N5 O3
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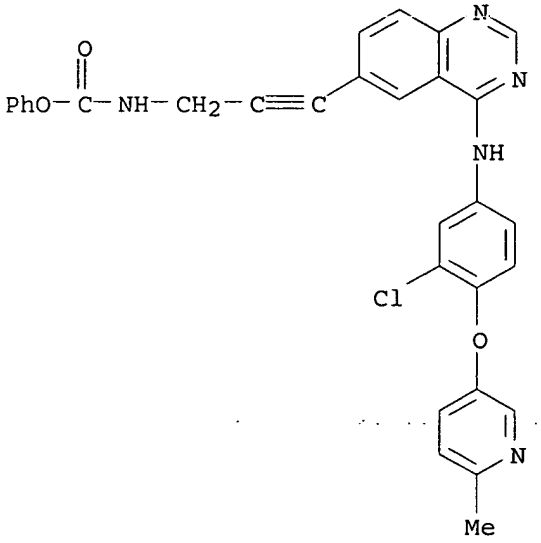


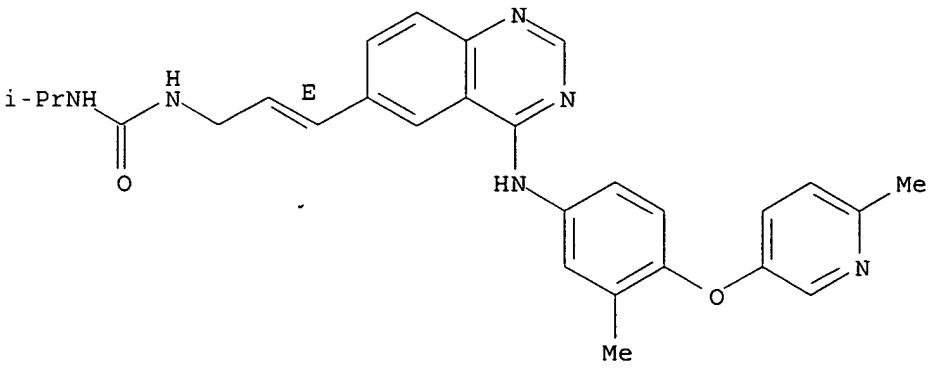
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 50 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383434-00-2** REGISTRY
CN Urea, N-(1-methylethyl)-N'-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H30 N6 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Double bond geometry as shown.



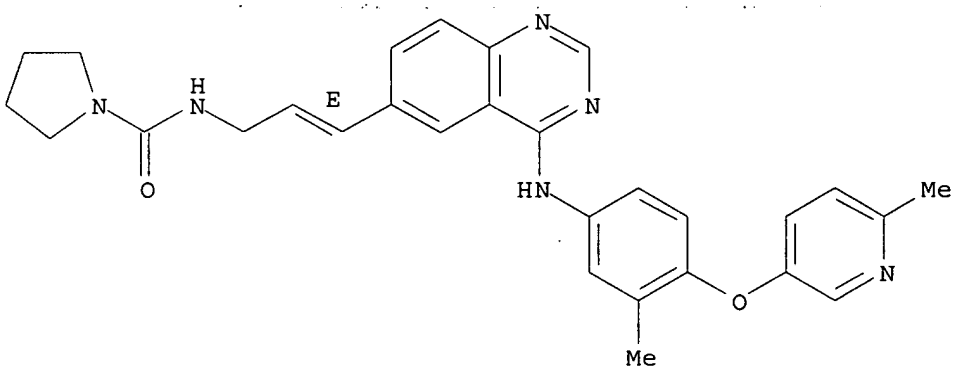
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 100 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383433-47-4** REGISTRY
CN 1-Pyrrolidinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H30 N6 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Double bond geometry as shown.

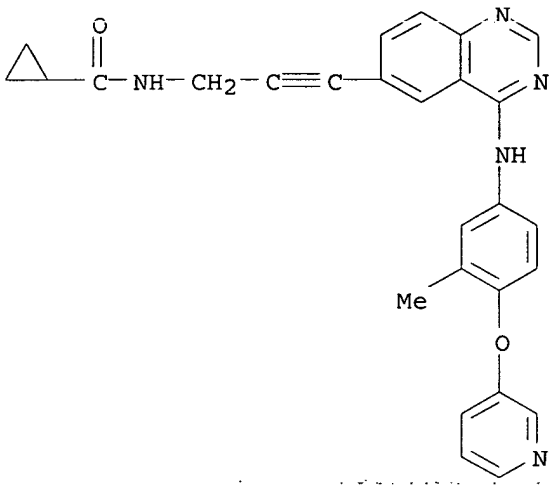


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 150 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383432-92-6** REGISTRY
CN Cyclopropanecarboxamide, N-[3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propynyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H23 N5 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

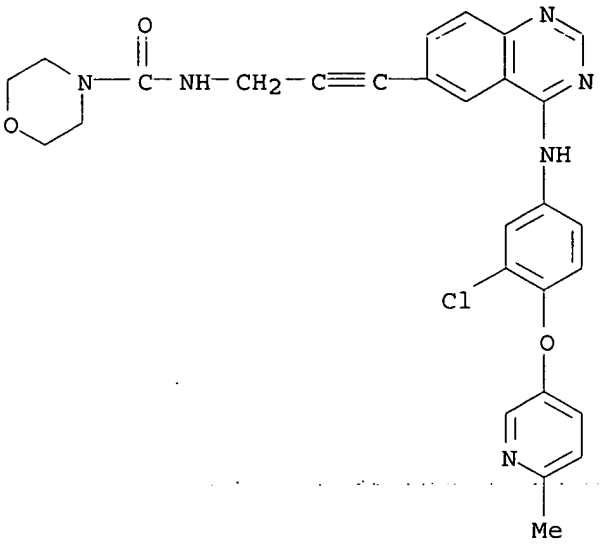


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 200 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383432-39-1** REGISTRY
CN 4-Morpholinecarboxamide, N-[3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propynyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H25 Cl N6 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

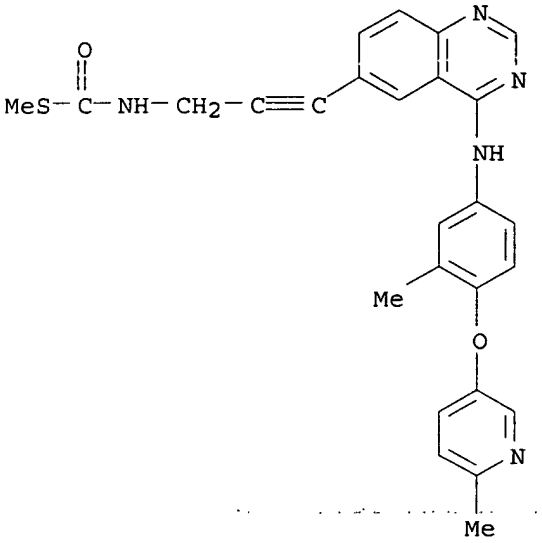


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 250 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383431-84-3** REGISTRY
CN Carbamothioic acid, [3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propynyl]-, S-methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H23 N5 O2 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

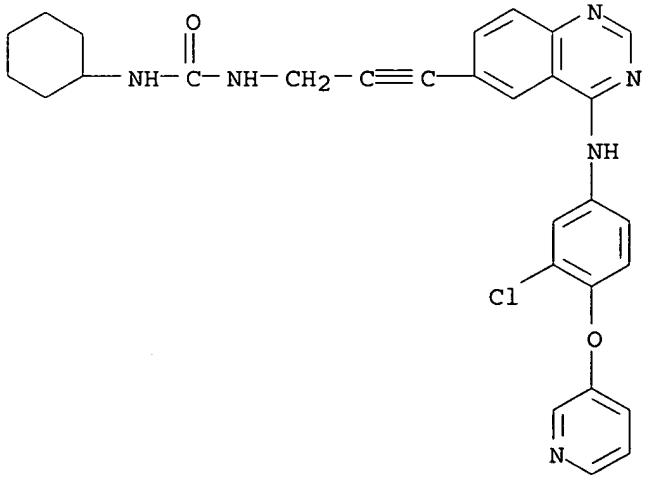


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 300 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383431-31-0** REGISTRY
CN Urea, N-[3-[4-[[3-chloro-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propynyl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H27 Cl N6 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

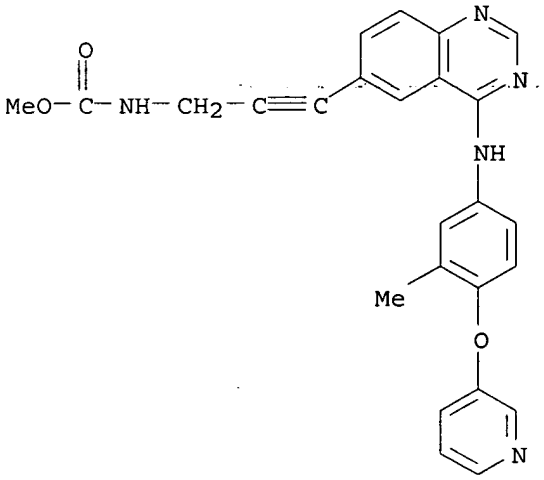


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 350 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383430-77-1** REGISTRY
CN Carbamic acid, [3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propynyl]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H21 N5 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

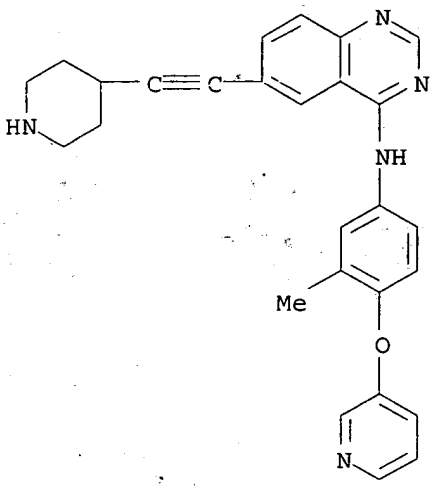


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816

L10 ANSWER 381 OF 381 REGISTRY COPYRIGHT 2002 ACS
RN **383430-46-4** REGISTRY
CN 4-Quinazolinamine, N-[3-methyl-4-(3-pyridinyloxy)phenyl]-6-(4-piperidinylethynyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H25 N5 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:69816